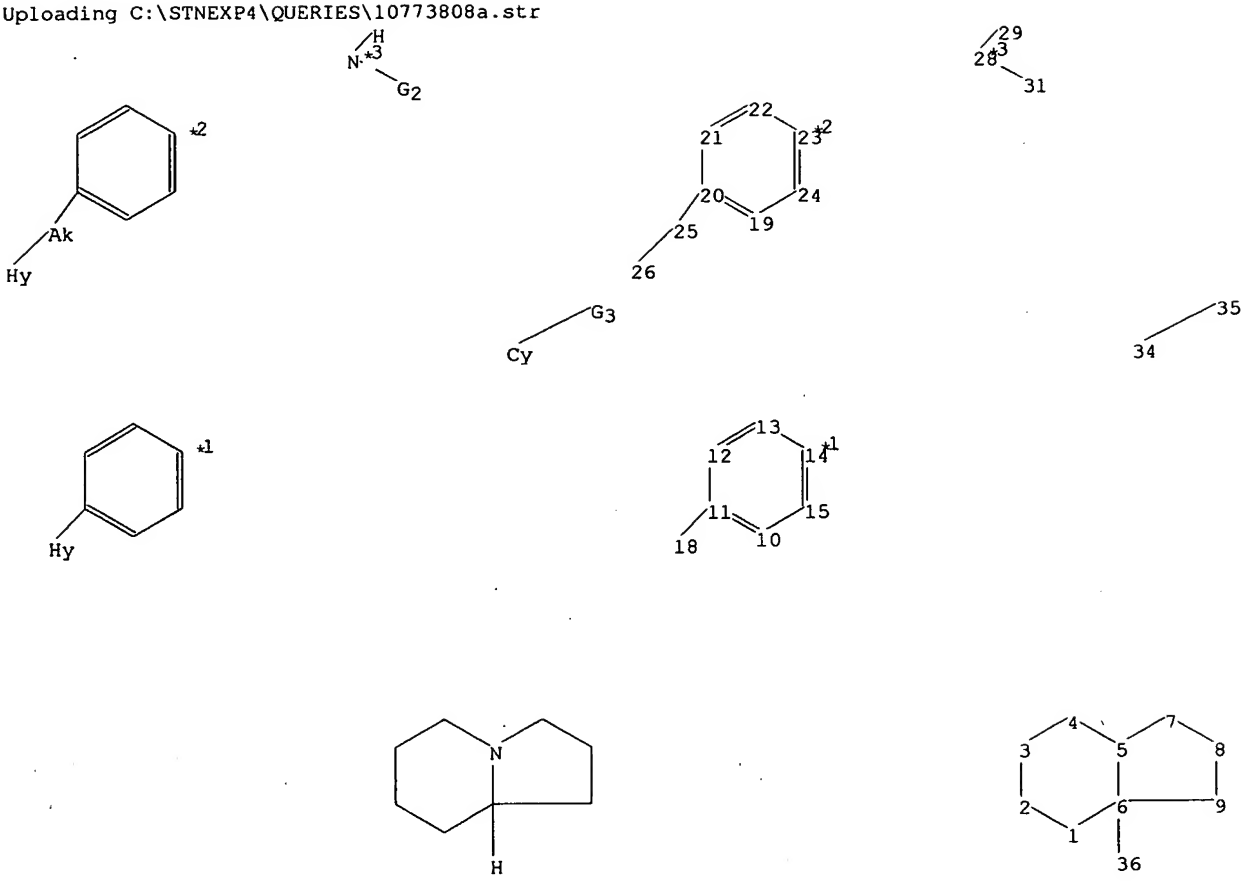
10773808

```
=> d his

     (FILE 'HOME' ENTERED AT 14:59:14 ON 10 FEB 2005)

     FILE 'REGISTRY' ENTERED AT 14:59:29 ON 10 FEB 2005
L1                STRUCTURE UPLOADED
L2             0 S L1
L3            25 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:00:51 ON 10 FEB 2005
L4             2 S L3

     FILE 'REGISTRY' ENTERED AT 15:07:17 ON 10 FEB 2005
L5                STRUCTURE UPLOADED
L6             0 S L5
L7           121 S L5 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:07:55 ON 10 FEB 2005
L8            33 S L7
L9            31 S L8 NOT L4
L10           19 S L9 AND PATENT/DT
L11           11 S L7 AND THU/RL
L12           22 S L8 NOT L11

=>
```

10773808

Uploading C:\STNEXP4\QUERIES\10773808a.str



chain nodes :
18 25 26 28 29 31 34 35 36
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 19 20 21 22 23 24
chain bonds :
6-36 11-18 20-25 25-26 28-29 28-31 34-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 19-20 19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 11-18 20-25 25-26 28-31 34-35
exact bonds :
6-36 6-9 7-8 8-9 28-29
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15 19-20 19-24 20-21 21-22 22-23 23-24

isolated ring systems :
containing 1 :

G2:C,S

G3:[*1],[*2],[*3]

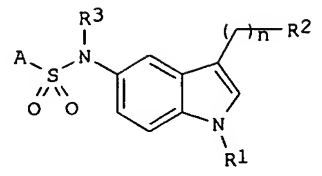
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom

10773808

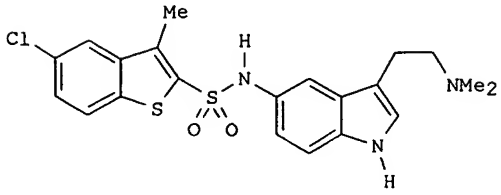
24:Atom 25:CLASS 26:Atom 28:CLASS 29:CLASS 31:CLASS 34:Atom 35:CLASS 36:CLASS

10773808

```
     FILE 'REGISTRY' ENTERED AT 14:59:29 ON 10 FEB 2005
L1              STRUCTURE UPLOADED
L2            0 S L1
L3           25 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:00:51 ON 10 FEB 2005
L4            2 S L3

     FILE 'REGISTRY' ENTERED AT 15:07:17 ON 10 FEB 2005
L5              STRUCTURE UPLOADED
L6            0 S L5
L7          121 S L5 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:07:55 ON 10 FEB 2005
L8           33 S L7

=> s l8 not l4
L9           31 L8 NOT L4

=> s l9 and patent/dt
       4628919 PATENT/DT
L10          19 L9 AND PATENT/DT

=> s l7 and thu/rl
             33 L7
         652726 THU/RL
L11          11 L7 AND THU/RL

=> d 1-11 bib abs hitstr

L11  ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:995963  CAPLUS
DN   141:410813
TI   Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6
     receptor binding activity, their pharmaceutical compositions, and their
     use as medicaments for treatment of food ingestion disorders.
IN   Merce-Vidal, Ramon; Andaluz, Mataro Blas; Frigola Constansa, Jordi
PA   Laboratorios Del Esteve S.A., Spain
SO   PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
PI   WO 2004098588         A1    20041118    WO 2004-EP4882           20040507
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRAI ES 2003-3001077       A     20030509
     ES 2003-3001782       A     20030728
OS   MARPAT 141:410813
GI
```



I



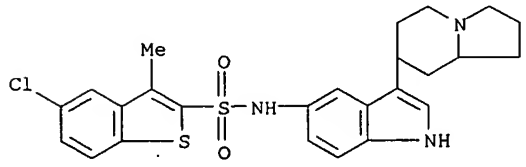
II

AB The invention relates to the use of N-(1H-indol-5-yl)-substituted sulfonamide derivs. I, including stereoisomers (especially enantiomers or diastereomers), racemates or other stereochem. mixts., and their physiol. acceptable salts and solvates, for the manufacture of medicaments for the prophylaxis and/or treatment of disorders of food ingestion [wherein: A = (un)substituted mono- or polycyclic (hetero)aromatic ring which may be bonded via an (un)substituted alk(en/yn)ylene; R1 = H, (un)substituted alkyl, Ph, or benzyl; n = 0-4; R2 = NR4R5, (un)saturated (un)substituted (hetero)cycloaliph. radical, which may be condensed with a similar ring; R3 = H, (un)substituted alkyl; R4, R5 = H, (un)substituted alkyl; or NR4R5 = (un)saturated, (un)substituted heterocyclyl which may be condensed with a similar ring]. Included in the disclosure are methods for and examples of the preparation of I. The use of 53 specific example compds. is claimed. Specifically claimed uses include appetite regulation, body weight modulation, and the treatment of obesity, bulimia, anorexia, cachexia, and type II diabetes. Phys. data for the same compds. is provided, and 5 example prepns. are shown. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of binding of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had a Ki of 0.13 nM, and gave complete (103.0%) inhibition at 10-6 M. Thirteen other I had Ki values ranging from 0.28 nM to 24.3 nM.

IT **528860-08-4P**, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT6 receptor binding activity for treatment of food ingestion disorders)

RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:396852 CAPLUS

DN 138:401602

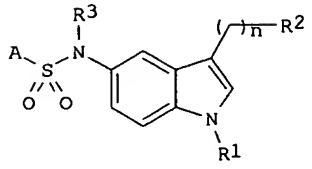
TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6 receptor antagonist activity, their preparation, and their application as medicaments for CNS diseases

10773808

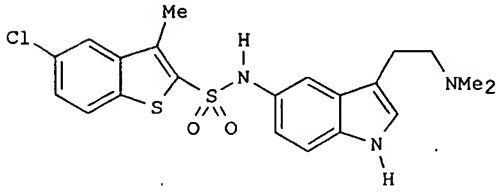
IN Merce-Vidal, Ramon; Andaluz-Mataro, Blas; Frigola-Constansa, Jordi
PA Laboratorios Del Esteve, S.A., Spain
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA Spanish
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003042175	A1	20030522	WO 2002-ES518	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	ES 2187300	A1	20030516	ES 2001-2517	20011114
	ES 2187300	B1	20040616		
	EP 1445252	A1	20040811	EP 2002-785439	20021108
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2003191124	A1	20031009	US 2002-293206	20021113
PRAI	ES 2001-2517	A	20011114		
	WO 2002-ES518	W	20021108		

OS MARPAT 138:401602
GI



I



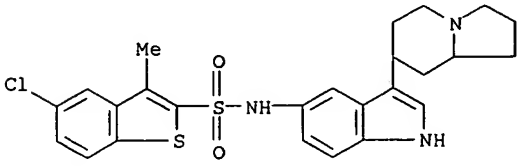
II

AB The invention relates to novel N-(1H-indol-5-yl)-substituted sulfonamide derivs. I and their physiol. acceptable salts [wherein: A = (un)substituted 5- or 6-membered heteroaryl, bicyclic heteroaryl, phenylalkyl, β-styryl, naphthyl, 2,2-diphenylethyl, aryl-W-aryl, or substituted Ph; R1 = H, alkyl, benzyl; n = 0-4; R2 = NR4R5, cyclic (un)saturated amino (e.g., piperidino, piperazino, etc.); R3, R4, R5 = H or alkyl; substituents on A = H, F, Cl, Br, alkyl, alkoxy, alkylthio, CF3, cyano, NO2, NR4R5; W = bond, CH2, O, S, or NR4]. The invention also relates to methods of preparing I, to their application as medicaments for human and/or veterinary therapy, and to pharmaceutical compns. containing them. A group of 53 example compds. is listed and claimed, and 5 example prepns. are given. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of binding of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had an IC50 of 0.13 nM. Thirteen other I had IC50 values ranging from 0.28 nM to 24.3 nM.

IT **528860-08-4P**, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU**

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT6 receptor antagonist activity for treatment of CNS diseases)

RN 528860-08-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

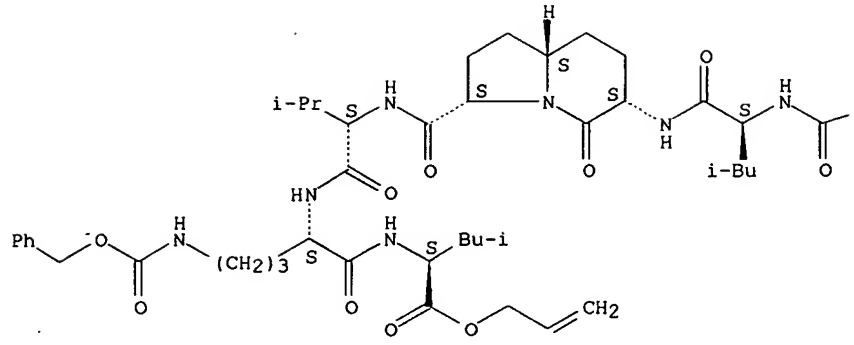


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

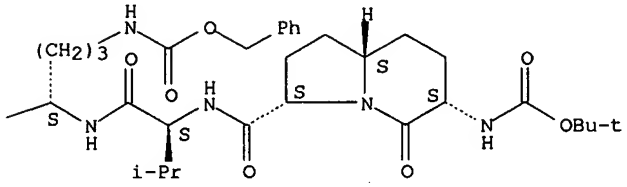
L11 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:779650 CAPLUS
DN 138:331199
TI Exploring relationships between mimic configuration, peptide conformation and biological activity in indolizidin-2-one amino acid analogs of gramicidin S
AU Roy, S.; Lombart, H.-G.; Lubell, W. D.; Hancock, R. E. W.; Farmer, S. W.
CS Departement de chimie, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SO Journal of Peptide Research (2002), 60(4), 198-214
CODEN: JPERFA; ISSN: 1397-002X
PB Blackwell Munksgaard
DT Journal
LA English
OS CASREACT 138:331199
AB Indolizidin-2-one amino acids (I2aas) possessing 6S- and 6R-ring-fusion stereochem. were introduced into the antimicrobial peptide gramicidin (GS) to explore the relationships between configuration, peptide conformation and biol. activity. Solution-phase and solid-phase techniques were used to synthesize three analogs with I2aa residues in place of the D-Phe-Pro residues at the turn regions of GS: [(6S)-I2aa4-5,4'-5']GS (I), [Lys2,2',(6S)-I2aa4-5,4'-5']GS (II) and [(6R)-I2aa4-5,4'-5']GS (4). Although conformational anal. of [I2aa4-5,4'-5']GS analogs 2-4 indicated that both ring-fusion stereoisomers of I2aa gave peptides with CD and NMR spectral data characteristic of GS, the (6S)-I2aa analogs I and II exhibited more intense CD curve shapes, as well as greater nos. of nonsequential NOE between opposing Val and Leu residues, relative to the (6R)-I2aa analog, suggesting a greater propensity for the (6S)-diastereomer to adopt the β-turn/antiparallel β-pleated sheet conformation. In measurements of antibacterial and antifungal activity, the (6S)-I2aa analog I exhibited significantly better potency than the (6R)-I2aa diastereomer. Relative to GS, I exhibited usually 1/2 to 1/4 antimicrobial activity as well as 1/4 hemolytic activity. In certain cases, antimicrobial and hemolytic activities of GS were shown to be dissociated through modification at the peptide turn regions with the (6S)-I2aa diastereomer. The synthesis and evaluation of GS analogs has furnished new insight into the importance of ring-fusion stereochem. for turn mimicry by indolizidin-2-one amino acids as well as novel antimicrobial peptides.
IT **518027-77-5P 518027-78-6P 586397-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial structure activity relationships of indolizidin-2-one amino acid analogs of gramicidin S)
RN 518027-77-5 CAPLUS
CN L-Leucine, N-[[(3S,6S,8aS)-6-[[(1,1-dimethylethoxy)carbonyl]amino]octahydro-5-oxo-3-indolizinyl]carbonyl]-L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-leucyl-(3S,6S,8aS)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



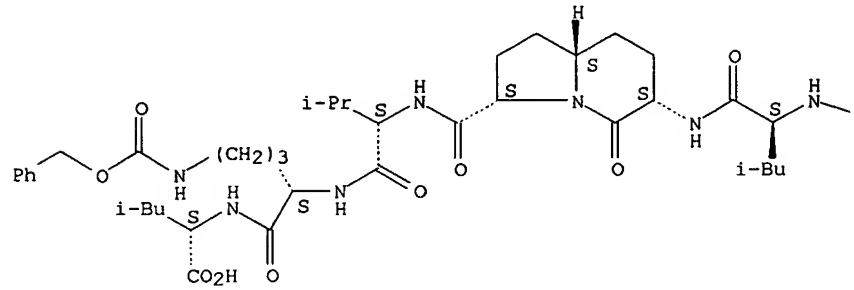
PAGE 1-B



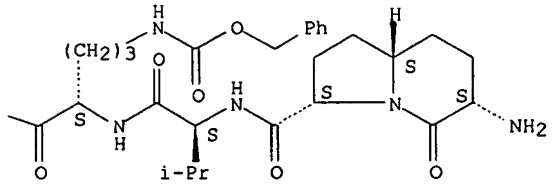
RN 518027-78-6 CAPLUS
CN L-Leucine, N-[[(3S,6S,8aS)-6-aminooctahydro-5-oxo-3-indolizinyl]carbonyl]-L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-leucyl-(3S,6S,8aS)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



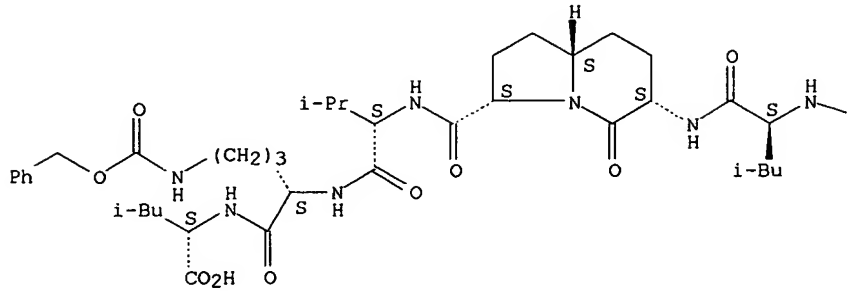
RN 586397-64-0 CAPLUS
CN L-Leucine, N-[[(3S,6S,8aS)-6-[[(1,1-dimethylethoxy)carbonyl]amino]octahydro-5-oxo-3-indolizinyl]carbonyl]-L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-leucyl-(3S,6S,8aS)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-

10773808

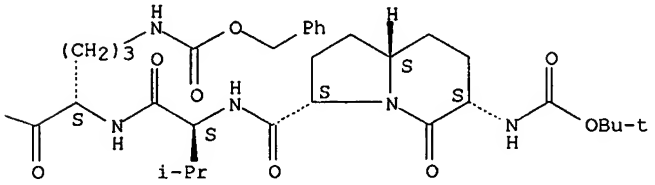
L-valyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



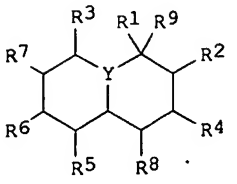
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:240772 CAPLUS
DN 136:263105
TI Octahydroindolizine and quinolizine and hexahydropyrrolizine derivatives as histaminic H1 and H3 antagonists
IN Apodaca, Richard; Carruthers, Nicholas I.; Carson, John R.; Chai, Wenying; Kwok, Annette K.; Li, Xiaobing; Lovenberg, Timothy W.; Rudolph, Dale A.; Shah, Chandravadan R.
PA Ortho McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

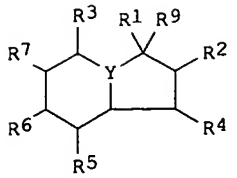
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024695	A2	20020328	WO 2001-US29624	20010921
	WO 2002024695	A3	20020919		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2423284	AA	20020328	CA 2001-2423284	20010921
	AU 2001092936	A5	20020402	AU 2001-92936	20010921
	US 2003013733	A1	20030116	US 2001-960031	20010921
	EP 1326863	A2	20030716	EP 2001-973346	20010921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004510712	T2	20040408	JP 2002-529105	20010921
	US 2004167336	A1	20040826	US 2004-773808	20040206
PRAI	US 2000-234504P	P	20000922		
	US 2000-234505P	P	20000922		
	US 2001-960031	B1	20010921		
	WO 2001-US29624	W	20010921		

10773808

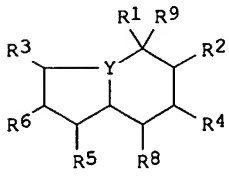
OS MARPAT 136:263105
GI



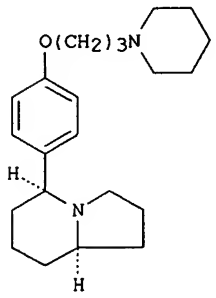
I



II



III



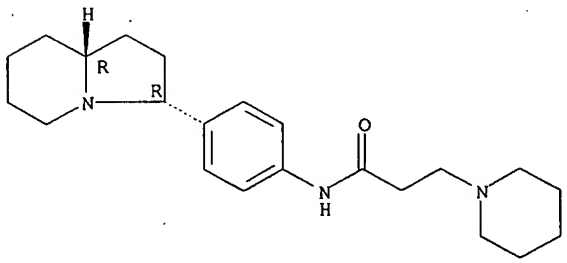
IV

AB Title compds. I-III [Y = N, N=O; one of R1-R3 = substituted cycloalkyl, Ph, naphthyl, heterocyclyl, cycloalkylalkyl, phenylalkyl, naphthylalkyl, heterocyclylalkyl, the others are H, halogen, alkyl; R4, R5, R7, R8 = H, halogen, alkyl, alkoxy; R6 = H, O, Ph; R9 = H, CN, alkyl, alkylamino] were prepared for use as histaminic H1 and H3 antagonists in treatment of histamine-mediated diseases and conditions. Thus, the indolizine IV was prepared by reaction of 4-H2N(CH2)3CH(OMe)2 with OC(CH2CO2Et)2 and 4-MeOC6H4CHO to give 5-(4-methoxyphenyl)-7(8H)-indolizinone, reduction of the oxo group, demethylation, and reaction with 1-(3-chloropropyl)piperidine. IV had a Ki of 0.7 nM for N-methylhistamine binding.

IT **405315-17-5P 405315-19-7P 405315-24-4P**
405315-28-8P 405315-30-2P 405315-31-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of octahydroindolizine and quinolizine and hexahydropyrrolizine derivs. as histaminic H1 and H3 antagonists)

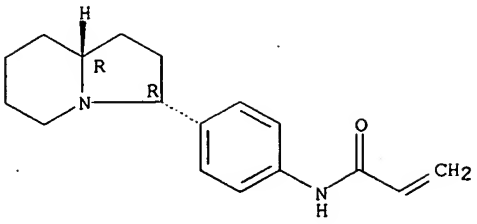
RN 405315-17-5 CAPLUS
CN 1-Piperidinepropanamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



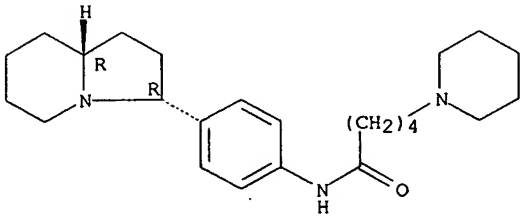
RN 405315-19-7 CAPLUS
CN 2-Propenamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



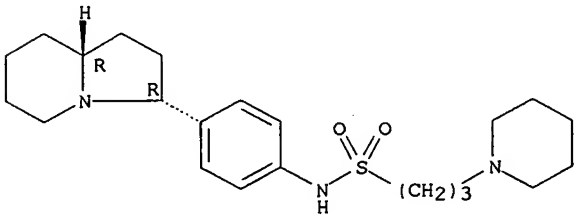
RN 405315-24-4 CAPLUS
CN 1-Piperidinepentanamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



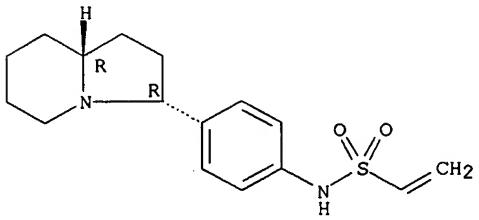
RN 405315-28-8 CAPLUS
CN 1-Piperidinepropanesulfonamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



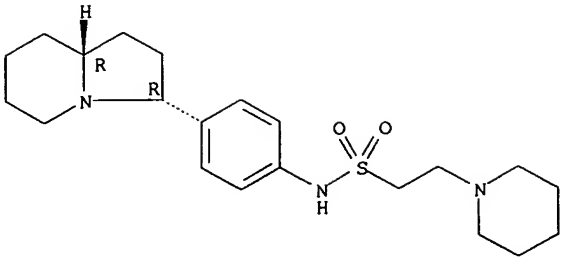
RN 405315-30-2 CAPLUS
CN Ethenesulfonamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 405315-31-3 CAPLUS
CN 1-Piperidineethanesulfonamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

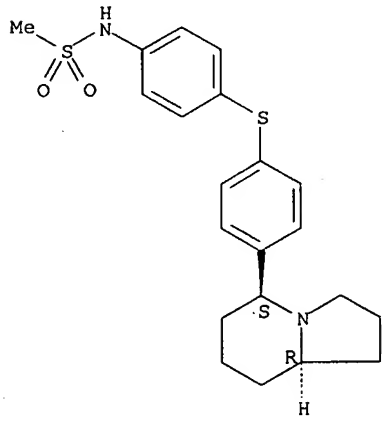
Relative stereochemistry.



IT **405314-55-8P 405314-69-4P 405314-70-7P**
405314-71-8P 405314-72-9P 405314-91-2P
405314-95-6P 405315-09-5P 405315-18-6P
405315-23-3P 405315-27-7P 405315-33-5P
405315-37-9P 405315-38-0P 405315-39-1P
405315-40-4P 405315-41-5P 405315-42-6P
405315-43-7P 405315-44-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of octahydroindolizine and quinolizine and hexahydropyrrolizine derivs. as histaminic H1 and H3 antagonists)

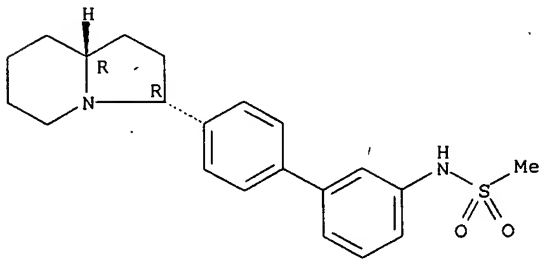
RN 405314-55-8 CAPLUS
CN Methanesulfonamide, N-[4-[[4-[(5R,8aS)-octahydro-5-indolizinyl]phenyl]thio]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



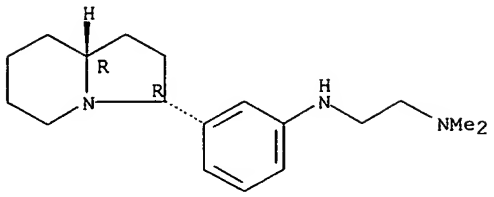
RN 405314-69-4 CAPLUS
CN Methanesulfonamide, N-[4'-[(3R,8aR)-octahydro-3-indolizinyl][1,1'-biphenyl]-3-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



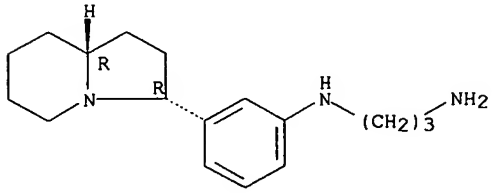
RN 405314-70-7 CAPLUS
CN 1,2-Ethanediamine, N,N-dimethyl-N'-[3-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



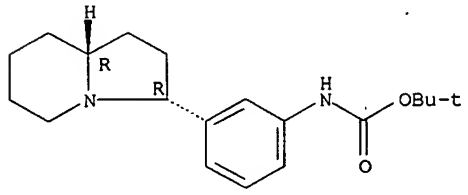
RN 405314-71-8 CAPLUS
CN 1,3-Propanediamine, N-[3-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



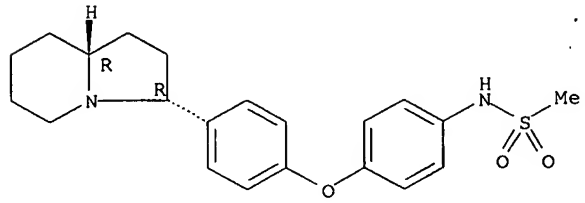
RN 405314-72-9 CAPLUS
CN Carbamic acid, [3-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



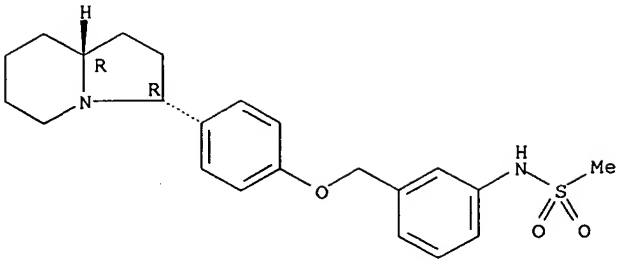
RN 405314-91-2 CAPLUS
CN Methanesulfonamide, N-[4-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



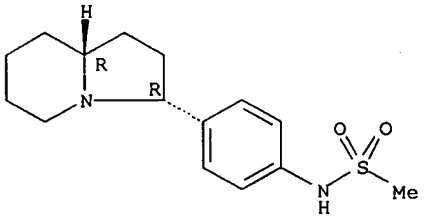
RN 405314-95-6 CAPLUS
CN Methanesulfonamide, N-[3-[[4-[(3R,8aR)-octahydro-3-indolizinyl]phenoxy]methyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



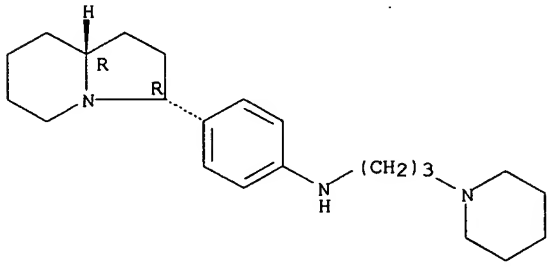
RN 405315-09-5 CAPLUS
CN Methanesulfonamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



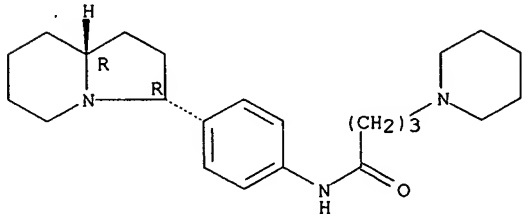
RN 405315-18-6 CAPLUS
CN 1-Piperidinepropanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



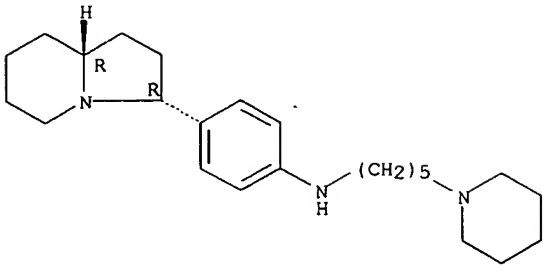
RN 405315-23-3 CAPLUS
CN 1-Piperidinebutanamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



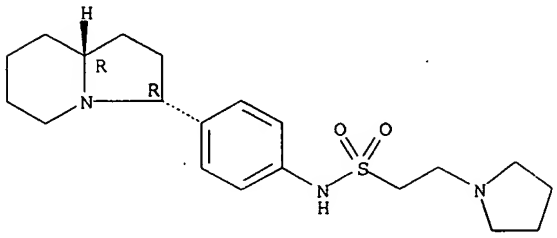
RN 405315-27-7 CAPLUS
CN 1-Piperidinepentanamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



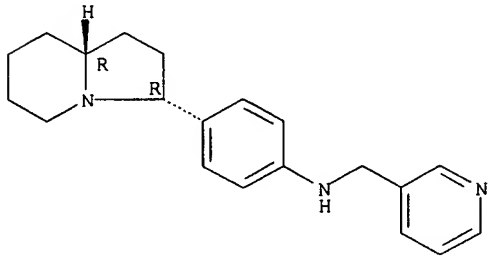
RN 405315-33-5 CAPLUS
CN 1-Pyrrolidineethanesulfonamide, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



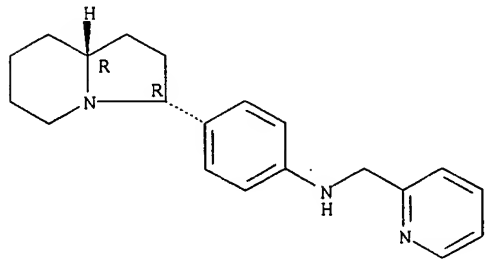
RN 405315-37-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



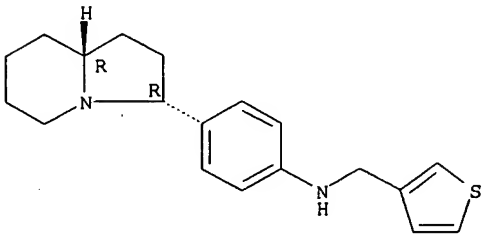
RN 405315-38-0 CAPLUS
CN 2-Pyridinemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



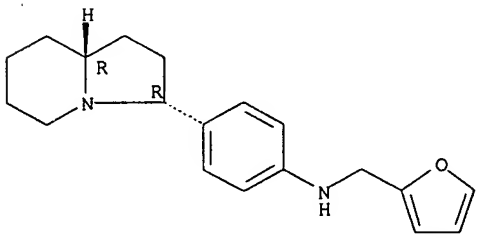
RN 405315-39-1 CAPLUS
CN 3-Thiophenemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



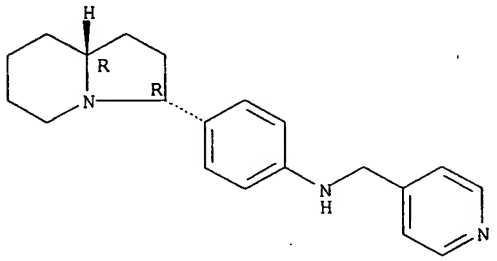
RN 405315-40-4 CAPLUS
CN 2-Furanmethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



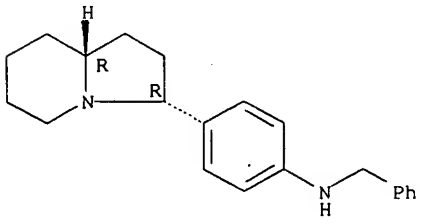
RN 405315-41-5 CAPLUS
CN 4-Pyridinemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



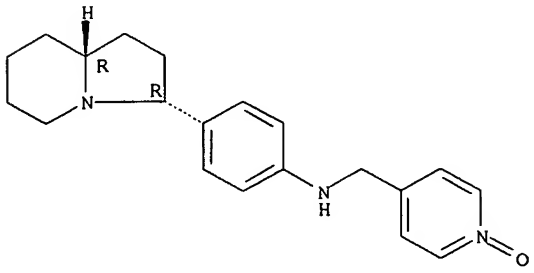
RN 405315-42-6 CAPLUS
CN Benzenemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



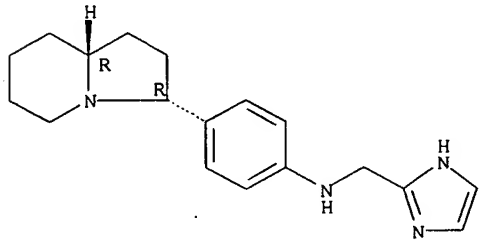
RN 405315-43-7 CAPLUS
CN 4-Pyridinemethanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, 1-oxide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 405315-44-8 CAPLUS
CN 1H-Imidazole-2-methanamine, N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

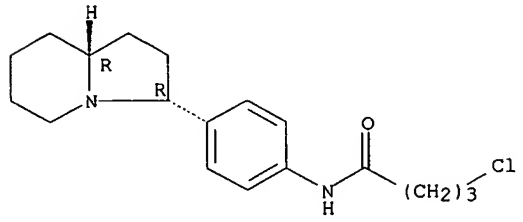
Relative stereochemistry.



IT **405315-67-5P 405315-68-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of octahydroindolizine and quinolizine and hexahydropyrrolizine derivs. as histaminic H1 and H3 antagonists)

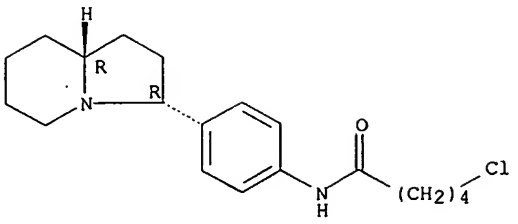
RN 405315-67-5 CAPLUS
CN Butanamide, 4-chloro-N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 405315-68-6 CAPLUS
CN Pentanamide, 5-chloro-N-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



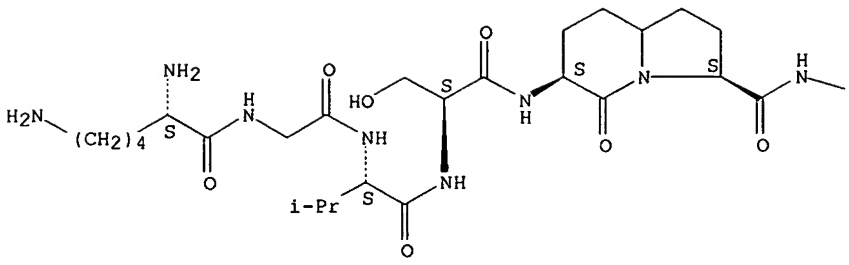
L11 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:833113 CAPLUS
DN 136:618
TI CXCR4 antagonist treatment of hematopoietic cells
IN Tudan, Christopher R.; Merzouk, Ahmed; Arab, Lakhdar; Saxena, Geeta; Eaves, Connie J.; Cashman, Johanne; Clark-Lewis, Ian; Salari, Hassan
PA The University of British Columbia, Can.; Chemokine Therapeutics Corporation
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001085196	A2	20011115	WO 2001-CA659	20010509
	WO 2001085196	A3	20020228		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2305787	AA	20011109	CA 2000-2305787	20000509
	CA 2408319	AA	20011115	CA 2001-2408319	20010509
	US 2002156034	A1	20021024	US 2001-852424	20010509
	EP 1286684	A2	20030305	EP 2001-931279	20010509
	EP 1286684	B1	20040428		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003532683	T2	20031105	JP 2001-581849	20010509
	AT 265219	E	20040515	AT 2001-931279	20010509
PRAI	CA 2000-2305787	A	20000509		
	US 2000-205467P	P	20000519		
	WO 2001-CA659	W	20010509		

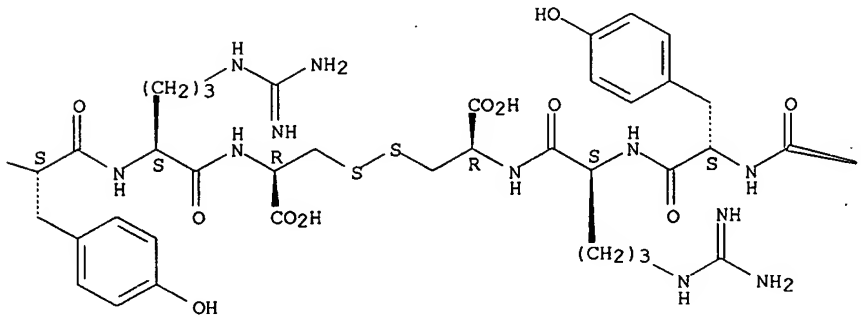
AB In accordance with various aspects of the invention, CXCR4 antagonists may be used to treat hematopoietic cells, such as progenitor or stem cells, to promote the rate of cellular multiplication, self-renewal, proliferation or expansion. CXCR4 antagonists may be used therapeutically to stimulate hematopoietic stem/progenitor cell multiplication/self-renewal.
IT **374110-94-8D**, derivs. **374110-95-9D**, derivs.
374110-96-0D, derivs.
RL: PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(CXCR4 antagonist treatment of hematopoietic cells)
RN 374110-94-8 CAPLUS
CN L-Cysteine, L-lysylglycyl-L-valyl-L-seryl-(3S,6S)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-L-tyrosyl-L-arginyl-, bimol. (8→8')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

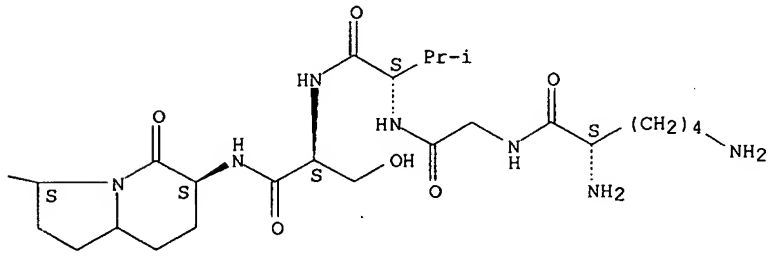
PAGE 1-A



PAGE 1-B



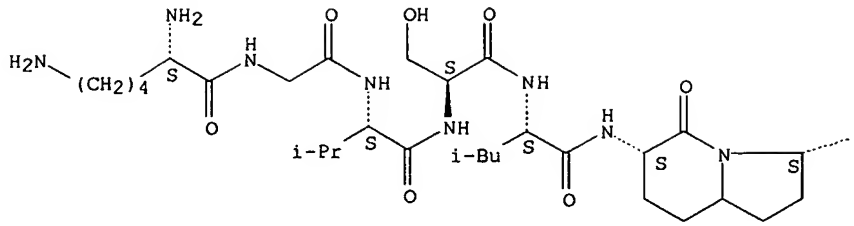
PAGE 1-C



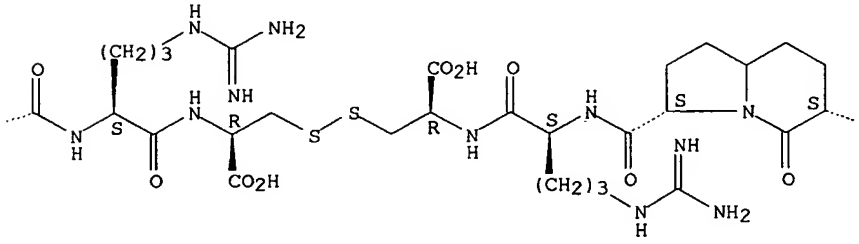
RN 374110-95-9 CAPLUS
CN L-Cysteine, L-lysylglycyl-L-valyl-L-seryl-L-leucyl-(3S,6S)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-L-arginyl-, bimol. (8→8')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

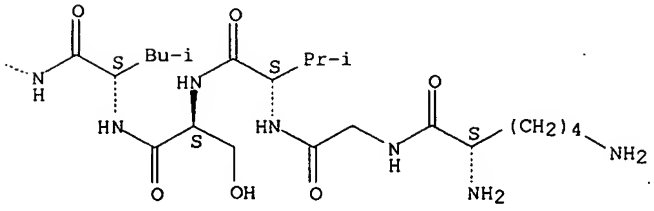
PAGE 1-A



PAGE 1-B



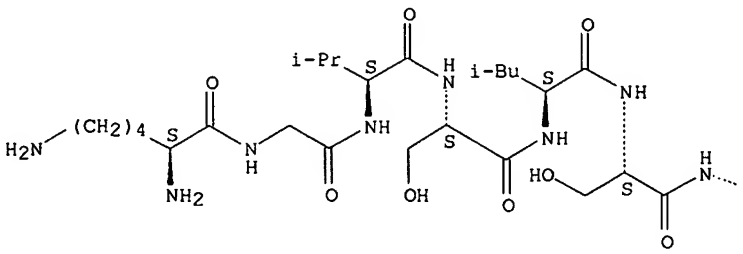
PAGE 1-C



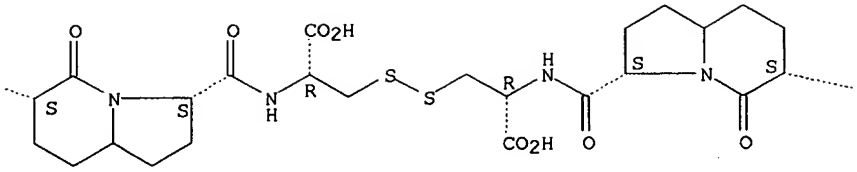
RN 374110-96-0 CAPLUS
CN L-Cysteine, L-lysylglycyl-L-valyl-L-seryl-L-leucyl-L-seryl-(3S,6S)-6-aminooctahydro-5-oxo-3-indolizinecarbonyl-, bimol. (8→8')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

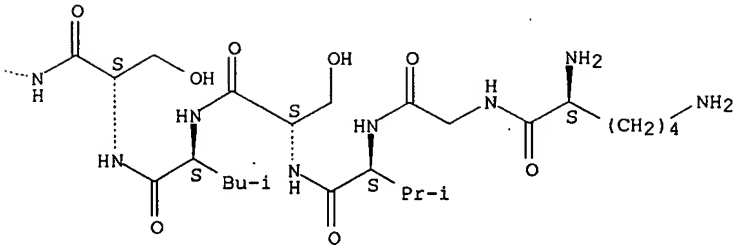
PAGE 1-A



PAGE 1-B



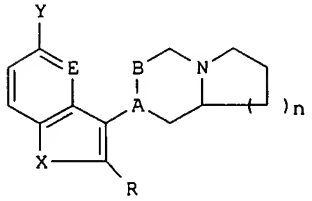
PAGE 1-C



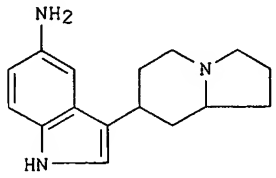
L11 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:136765 CAPLUS
DN 130:168239
TI Substituted heteroaromatic 5-HT1F agonists, including (octahydroindolizinyl)indoles and analogs, useful as antimigraine agents
IN Filla, Sandra A.; Krushinski, Joseph H., Jr.; Schaus, John Mehnert
PA Eli Lilly and Company, USA
SO U.S., 36 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5874427	A	19990223	US 1998-59768	19980414
PRAI	US 1998-59768		19980414		

OS MARPAT 130:168239
GI



I



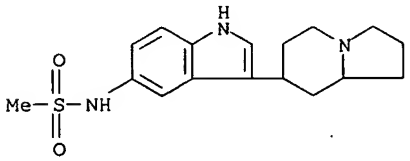
II

AB The invention provides novel 5-HT1F agonists (no data) of formula I [AB = CHCH2 or C:CH; n = 1, 2, or 3; R = H or C1-4 alkyl; X = NH, O, or S; Y = H, OH, (un)substituted NH2, halo, SR1, COR2, CONR3R4; R1 = (un)substituted

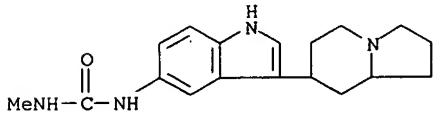
Ph or phenylalkyl, pyridinyl; R2 = alkyl, (un)substituted phenylalkyl, naphthyl, (un)substituted amino, (un)substituted heteroaryl or heteroaralkyl; R3 = H, alkyl, (un)substituted heteroaryl or heteroaralkyl; R4 = H or C1-6 alkyl; or NR3R4 forms a pyrrolidine, (un)substituted piperidine, piperazine, 4-substituted piperazine, morpholine, or thiomorpholine ring; E = CH or N, but not N when X = O or S]. The compds. are useful for the treatment of migraine and associated disorders. Twenty invention synthetic examples and 17 intermediate preparation examples are provided. For instance, condensation of 5-nitro-1H-indole with octahydroindolizin-7-one in aqueous methanolic KOH gave 38.5% 3-(1,2,3,4,5,8-hexahydroindolizin-7-yl)-5-nitro-1H-indole, which was hydrogenated over 5% Pd/C to give 85% title compound II.

IT **214626-27-4P**, N-(Methanesulfonyl)-3-(octahydroindolizin-7-yl)-5-amino-1H-indole **214626-28-5P**, N-Methyl-N'-[3-(octahydroindolizin-7-yl)-1H-indol-5-yl]urea
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (octahydroindolizinyl)indoles and analogs as 5-HT1F agonist antimigraine agents)

RN 214626-27-4 CAPLUS
CN Methanesulfonamide, N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 214626-28-5 CAPLUS
CN Urea, N-methyl-N'-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

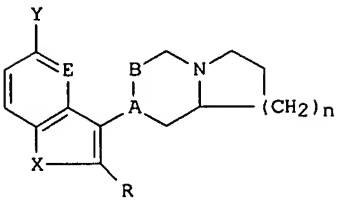
L11 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:706206 CAPLUS
DN 129:302554
TI Substituted heteroaromatic 5-HT1F agonists
IN Filla, Sandra Ann; Krushinski, Joseph Herman, Jr.; Schaus, John Mehnert
PA Eli Lilly and Co., USA
SO PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9846570	A1	19981022	WO 1998-US7744	19980410
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 875513	A1	19981104	EP 1998-302793	19980409
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2285603	AA	19981022	CA 1998-2285603	19980410

10773808

	AU 9871287	A1	19981111	AU 1998-71287	19980410
	JP 2001521529	T2	20011106	JP 1998-544320	19980410
PRAI	US 1997-43624P	P	19970414		
	WO 1998-US7744	W	19980410		

OS MARPAT 129:302554
GI

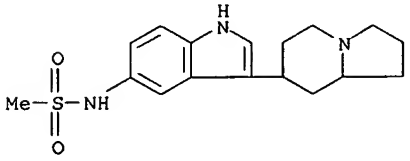


I

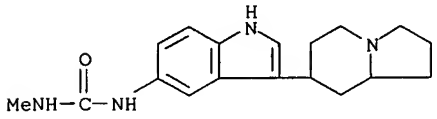
AB Indolizines I [A-B = CH2CH2, CH:CH; n = 1-3; R = H, alkyl; X = NH, O, S; E = CH, N; Y = H, OH, halo, acyl, (un)substituted NH2, SH, CONH2, NHCONH2, NHCSNH2, NHCO2H], which are useful for the treatment of migraine and associated disorders (no data), were prepared Thus, MeCOCH:CH2 was treated with (EtO)2(CH2)4NH2 to give 7-octahydroindolizinone which was treated with 5-nitroindole and reduced to give 3-octahydroindolizin-7-yl-5-amino-1H-indole.

IT **214626-27-4P 214626-28-5P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of octahydroindolizinylindoles as 5-HT1F agonists)

RN 214626-27-4 CAPLUS
CN Methanesulfonamide, N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 214626-28-5 CAPLUS
CN Urea, N-methyl-N'-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



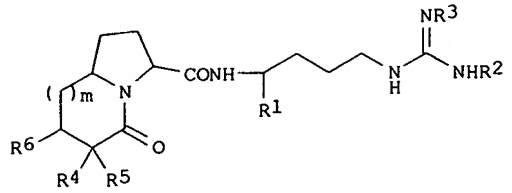
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:231088 CAPLUS
DN 126:212450
TI Preparation of arginine-containing bicyclic lactam derivatives as thrombin inhibitors
IN Salimbeni, Aldo; Paleari, Fabio; Scolastico, Carlo; Criscuoli, Marco
PA A. Menarini Industrie Farmaceutiche Riunite S.R.L., Italy; Salimbeni, Aldo; Paleari, Fabio; Scolastico, Carlo; Criscuoli, Marco
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

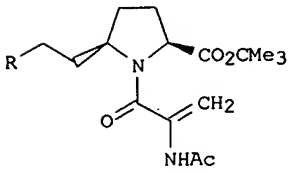
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9705160	A1	19970213	WO 1996-EP3167	19960718
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
	AU 9667342	A1	19970226	AU 1996-67342	19960718
PRAI	IT 1995-MI1688	A	19950801		
	WO 1996-EP3167	W	19960718		

OS MARPAT 126:212450

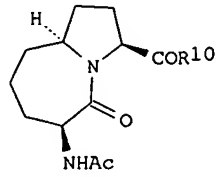
GI



I



II



III

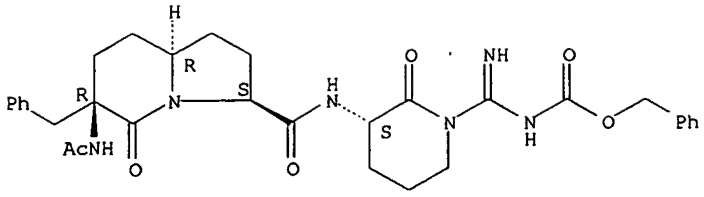
AB Bicyclic láctams containing an arginine residue, i.e. I [m = 0-3; R1 = CHO, CH2OH, CO2H, B(OH)2; R2, R3 = independently H, CO2R7, C1-4 alkyl, CH2Ph, NO2; R4, R5 = independently H, NR8R9, straight or branched C1-7 alkyl, C3-7 cycloalkyl, or an arylalkyl or heteroarylalkyl group optionally substituted by one or more groups such as halo, OMe, CF3, straight or branched C1-7 alkyl; R6 = H, straight or branched C1-7 alkyl, C3-7 cycloalkyl, or an aryl, heteroaryl, arylalkyl or heteroarylalkyl group optionally substituted by one or more groups such as halo, OMe, CF3, straight or branched C1-7 alkyl; R7 = C1-4 alkyl, CH2Ph; R8, R9 = independently H, straight or branched C1-7 alkyl, W-Q; W = CO, SO2; Q = Ph, CH2Ph, quinolyl, naphththylmethyl, tetrahydroquinolyl, optionally substituted by one or more groups such as halo, straight or branched C1-7 alkyl, OMe, CF3], which can be of use in therapy as thrombin inhibitors, are disclosed. Thus, amidation of (2S,5R)-2-tert-butoxycarbonyl-5-(2-hydroxyethyl)pyrrolidine with 2-acetylaminoacrylic acid gave 80% amide II (R = OH). Iodination of alc. II (R = OH) via its mesylate, followed by reductive radical cyclization in the presence of Bu3SnH gave octahydropyrrolo[1,2-a]azepin-5-one III (R10 = OCMe3). Deesterification of III (R10 = OCMe3) with CF3CO2H, followed by coupling with Nω-benzyloxycarbonyl-L-arginine lactam, hydride reduction, and catalytic deprotection gave arginine aldehyde derivative III (R10 = L-Arg-H).

IT **188126-99-0P 188127-10-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arginine-containing bicyclic lactam derivs. as thrombin inhibitors)

RN 188126-99-0 CAPLUS

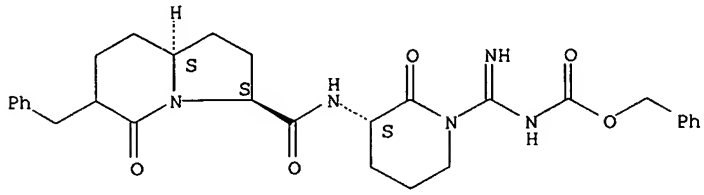
CN Carbamic acid, [[3-[[[6-(acetylamino)octahydro-5-oxo-6-(phenylmethyl)-3-indolizinyl]carbonyl]amino]-2-oxo-1-piperidinyl]iminomethyl]-, phenylmethyl ester, [3S-[3α(R*),6α,8aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188127-10-8 CAPLUS
CN Carbamic acid, [imino[3-[[[octahydro-5-oxo-6-(phenylmethyl)-3-indolizinyl]carbonyl]amino]-2-oxo-1-piperidinyl]methyl]-, phenylmethyl ester, [3S(S),8aS]-[partial]- (9CI) (CA INDEX NAME)

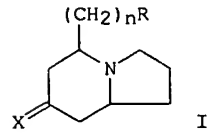
Absolute stereochemistry.



L11 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:477842 CAPLUS
DN 111:77842
TI Preparation and formulation of 5-substituted octahydroindolizines as analgesics
IN Carmosin, Richard J.; Carson, John R.
PA McNeilab, Inc., USA
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4689329	A	19870825	US 1986-826167	19860204
	CA 1288430	A1	19910903	CA 1987-528770	19870202
	DK 8700566	A	19870805	DK 1987-566	19870203
	FI 8700460	A	19870805	FI 1987-460	19870203
	NO 8700423	A	19870805	NO 1987-423	19870203
	AU 8768268	A1	19870806	AU 1987-68268	19870203
	AU 610492	B2	19910523		
	EP 237169	A1	19870916	EP 1987-300922	19870203
	EP 237169	B1	19920708		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8700773	A	19881026	ZA 1987-773	19870203
	AT 77950	E	19920715	AT 1987-300922	19870203
	ES 2041679	T3	19931201	ES 1987-300922	19870203
	CN 87101647	A	19870916	CN 1987-101647	19870204
	JP 62215587	A2	19870922	JP 1987-22613	19870204
	HU 45250	A2	19880628	HU 1987-393	19870204
	HU 196797	B	19890130		
PRAI	US 1986-826167	A	19860204		
	EP 1987-300922	A	19870203		

GI



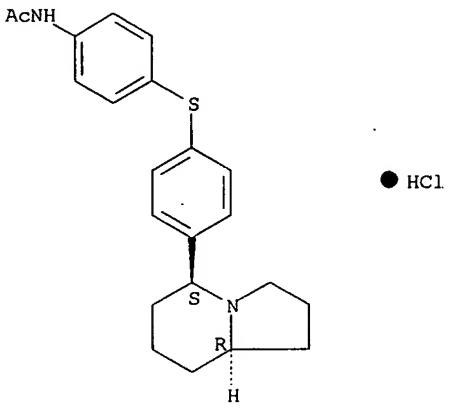
I

AB The title compds. [I; R = (un)substituted Ph, naphthyl, cycloalkyl, cycloalkenyl; X = H2, O; n = 0-6] and their salts were prepared H2N(CH2)3CH(OEt)2 in EtOH, HCl, 4-BrC6H4CHO, and (EtO2CCH2)2CO were reacted to give trans-5-(4-bromophenyl)hexahydro-7(1H)-indolizinone which underwent a Wolff-Kishner reduction to give the trans-5-(bromophenyl)octahydroindolizine, which was treated with 4-AcNHC6H4SH and (Ph3P)4Pd to give trans-I [R = 4-(4-AcNHC6H4S)C6H4, n = 0, X = H2) as an oil which was converted to its HCl salt (II). In the mouse writhing test II had an ED50 of .apprx.2.5 mg/kg orally.

IT **121339-78-4P 121339-79-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic)

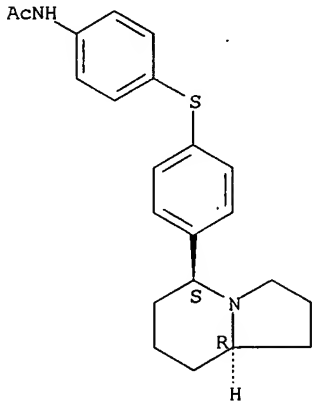
RN 121339-78-4 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-5-indolizinyl)phenyl]thio]phenyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 121339-79-5 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-5-indolizinyl)phenyl]thio]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



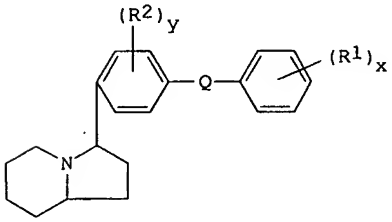
L11 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:636507 CAPLUS
DN 107:236507
TI Preparation and formulation of 3-diphenyl substituted octahydroindolizine analgesic compounds

10773808

IN Carmosin, Richard J.; Carson, John R.
PA McNeilab, Inc., USA
SO U.S., 13 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4683239	A	19870728	US 1986-850632	19860410
	DK 8701825	A	19871011	DK 1987-1825	19870409
	EP 241298	A2	19871014	EP 1987-303126	19870409
	EP 241298	A3	19890531		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 8771360	A1	19871015	AU 1987-71360	19870409
	AU 611851	B2	19910627		
	ZA 8702564	A	19881130	ZA 1987-2564	19870409
	JP 62270581	A2	19871124	JP 1987-87238	19870410
PRAI	US 1986-850632	A	19860410		

OS CASREACT 107:236507
GI



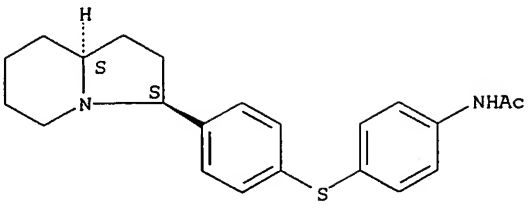
I

AB Title compds. I (Q = NR, CH2CH2, CH:CH, C.tplbond.C, OCH2, SCH2, SO, SO2, CO, O, S; R = H, C1-4 alkyl; R1 = amino, C1-4 alkylamino, -dialkylamino, C1-4 alkyl, -alkoxy, -haloalkyl, halo, O2N, CH, SR3, SOR4, SO2R5, CO2R6, COR7, NR8COR9; R3-R6 = C1-4 alkyl; R7 - R9 = H, C1-4 alkyl; R2 = C1-4 alkyl, -alkoxy, -alkylthio, etc.; x = y = 0-3; z not shown) and their salts, were prepared Pyridine-2-carboxaldehyde underwent a Claisen-Schmidt condensation with 4-BrC6H4COMe to give the chalcone which was hydrogenated and concurrent cyclization to the (bromophenyl)indolizine which is then condensed with 4-MeCONHC6H4SH to give trans-I [(R1)x = MeCONH; Q = S; (R2)y = H] (II). II·HCl administered orally demonstrated its analgesic activity by the mouse acetylcholine bromide-induced abdominal constriction assay.

IT **111360-89-5P 111360-90-8P 111360-91-9P**
111360-94-2P 111360-95-3P 111360-98-6P
111360-99-7P 111361-04-7P 111361-12-7P
111361-14-9P 111361-15-0P 111361-21-8P
111361-25-2P 111361-26-3P 111361-27-4P
111361-29-6P 111361-33-2P 111361-35-4P
111361-36-5P 111361-37-6P 111387-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic)

RN 111360-89-5 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

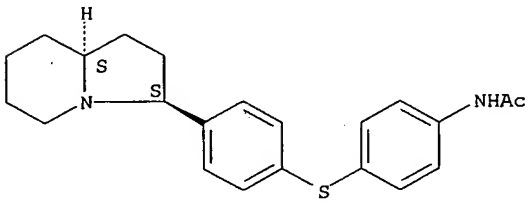
Relative stereochemistry.



● HCl

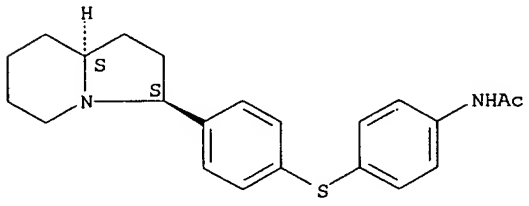
RN 111360-90-8 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 111360-91-9 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, monohydrochloride, trans-(+)- (9CI) (CA INDEX NAME)

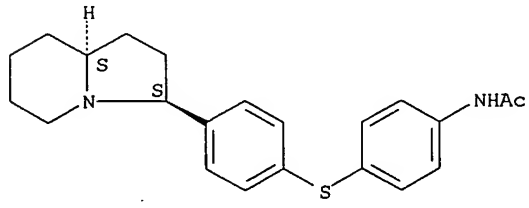
Rotation (+). Absolute stereochemistry unknown.



● HCl

RN 111360-94-2 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, trans-(+)- (9CI) (CA INDEX NAME)

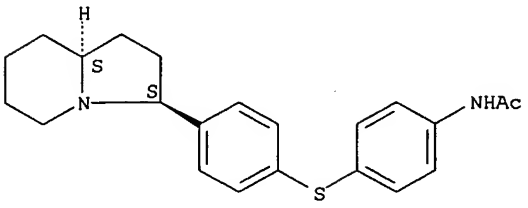
Rotation (+). Absolute stereochemistry unknown.



RN 111360-95-3 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-,

monohydrochloride, trans-(-)- (9CI) (CA INDEX NAME)

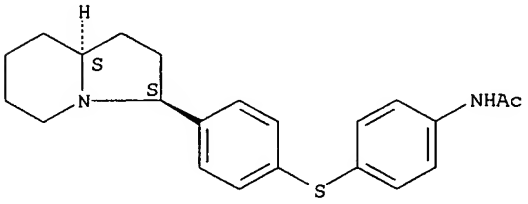
Rotation (-). Absolute stereochemistry unknown.



● HCl

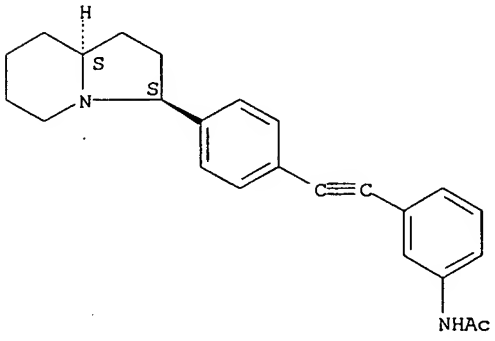
RN 111360-98-6 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, trans-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



RN 111360-99-7 CAPLUS
CN Acetamide, N-[3-[[4-(octahydro-3-indolizinyl)phenyl]ethynyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

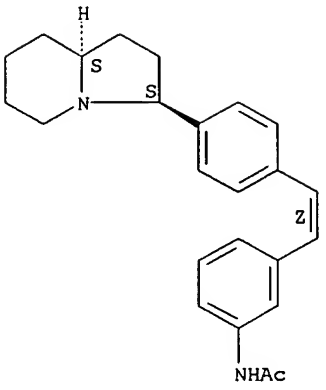


RN 111361-04-7 CAPLUS
CN Acetamide, N-[3-[(1E)-2-[4-[(3R,8aR)-octahydro-3-indolizinyl]phenyl]ethenyl]phenyl]-, rel-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 111361-03-6
CMF C24 H28 N2 O

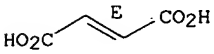
Relative stereochemistry.
Double bond geometry as shown.



CM 2

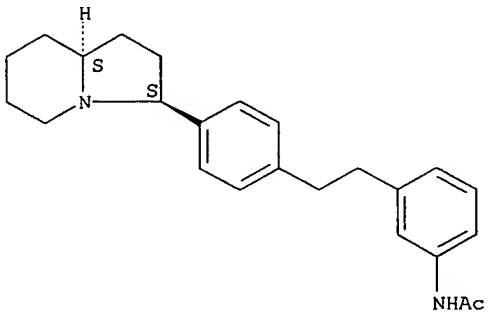
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



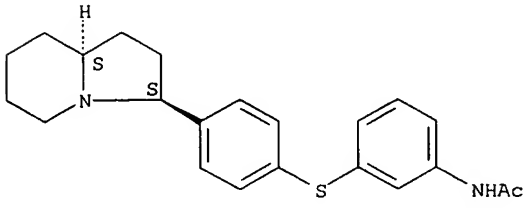
RN 111361-12-7 CAPLUS
CN Acetamide, N-[3-[2-[4-(octahydro-3-indolizinyl)phenyl]ethyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 111361-14-9 CAPLUS
CN Acetamide, N-[3-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

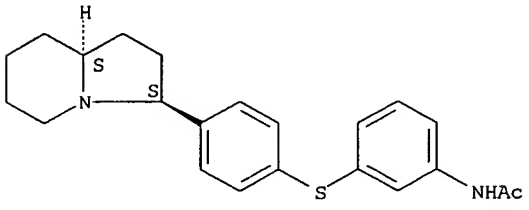
Relative stereochemistry.



● HCl

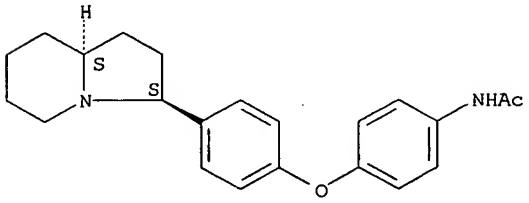
RN 111361-15-0 CAPLUS
CN Acetamide, N-[3-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



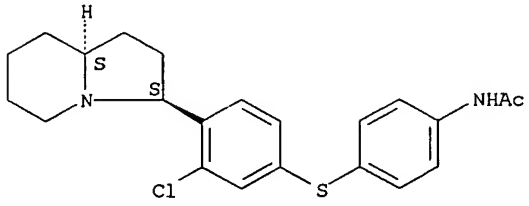
RN 111361-21-8 CAPLUS
CN Acetamide, N-[4-[4-(octahydro-3-indolizinyl)phenoxy]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

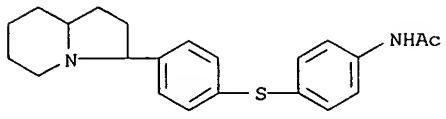


RN 111361-25-2 CAPLUS
CN Acetamide, N-[4-[[3-chloro-4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, trans- (9CI) (CA INDEX NAME)

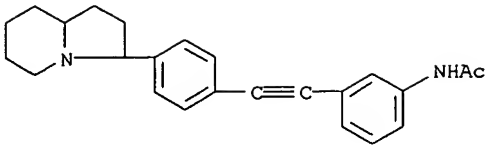
Relative stereochemistry.



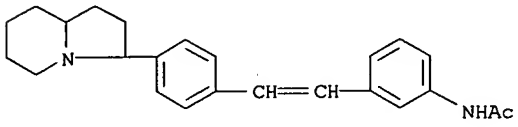
RN 111361-26-3 CAPLUS
CN Acetamide, N-[4-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)



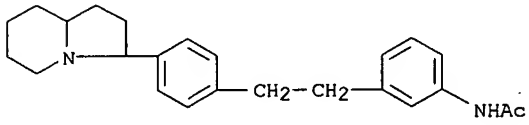
RN 111361-27-4 CAPLUS
CN Acetamide, N-[3-[[4-(octahydro-3-indolizinyl)phenyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



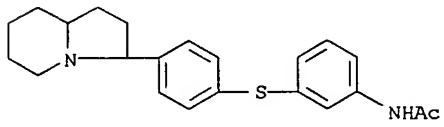
RN 111361-29-6 CAPLUS
CN Acetamide, N-[3-[2-[4-(octahydro-3-indolizinyl)phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)



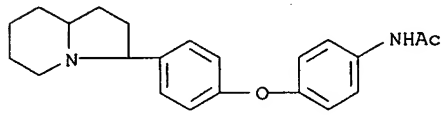
RN 111361-33-2 CAPLUS
CN Acetamide, N-[3-[2-[4-(octahydro-3-indolizinyl)phenyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



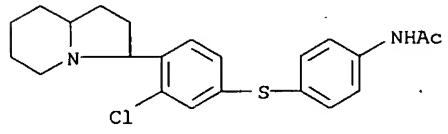
RN 111361-35-4 CAPLUS
CN Acetamide, N-[3-[[4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)



RN 111361-36-5 CAPLUS
CN Acetamide, N-[4-[4-(octahydro-3-indolizinyl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 111361-37-6 CAPLUS
CN Acetamide, N-[4-[[3-chloro-4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

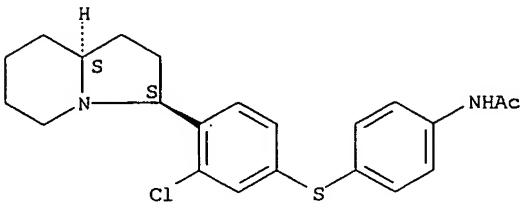


RN 111387-01-0 CAPLUS

10773808

CN Acetamide, N-[4-[[3-chloro-4-(octahydro-3-indolizinyl)phenyl]thio]phenyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

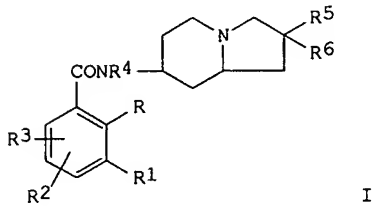


● HCl

L11 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1984:102964 CAPLUS
DN 100:102964
TI N-Indolizidin-7-ylbenzamides and their pharmaceutical compositions
IN King, Francis David
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 94744	A1	19831123	EP 1983-302190	19830418
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	AU 8313825	A1	19831027	AU 1983-13825	19830421
	ZA 8302811	A	19850227	ZA 1983-2811	19830421
	JP 58194885	A2	19831112	JP 1983-71341	19830422
	ES 521773	A1	19840701	ES 1983-521773	19830422
	US 4559346	A	19851217	US 1983-487904	19830422
PRAI	GB 1982-11882	A	19820423		

GI



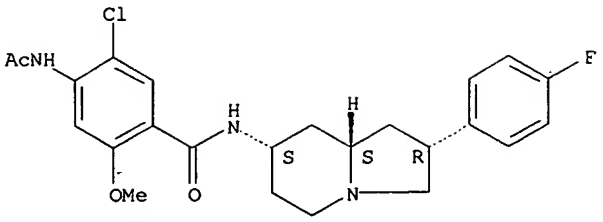
I

AB Amides I [R = alkoxy, alkylthio; R1, R2, R3 = H, halo, CF3, alkyl, alkoxy, alkylthio, acyl, acylamino, alkylsulfonyl, alkylsulfinyl, OH, NO2, NH2, carbamoyl, sulfamoyl; R4 = H, alkoxy, alkylthio; R5 = H, alkyl; R6 = (un)substituted Ph or thienyl] were prepared, and they showed antipsychotic and antihypertensive activity. Thus, 5,2,4-Cl(MeO)(AcNH)C6H2CO2H was treated with ClCOCOCl, 7-amino-2-phenylindolizidine, and Et3N to give I (R = OMe, R2 = 4-NHAc, R3 = 5-Cl, R6 = Ph, R1 = R4 = R5 = H). Certain I inhibited apomorphine-induced climbing in mice with ED50 values of 0.195-0.52 mg/kg.

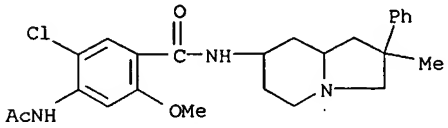
IT **88897-81-8P 88897-82-9P 106757-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

RN 88897-81-8 CAPLUS
CN Benzamide, 4-(acetylamino)-5-chloro-N-[2-(4-fluorophenyl)octahydro-7-indolizinyl]-2-methoxy-, (2α,7α,8aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

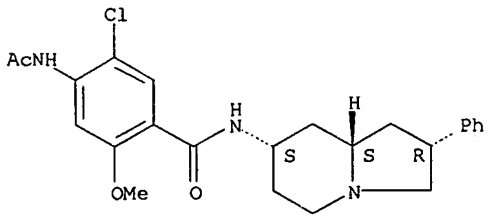


RN 88897-82-9 CAPLUS
CN Benzamide, 4-(acetylamino)-5-chloro-2-methoxy-N-(octahydro-2-methyl-2-phenyl-7-indolizinyl)- (9CI) (CA INDEX NAME)



RN 106757-50-0 CAPLUS
CN Benzamide, 4-(acetylamino)-5-chloro-2-methoxy-N-(octahydro-2-phenyl-7-indolizinyl)-, (2α,7α,8aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 14:59:14 ON 10 FEB 2005)

FILE 'REGISTRY' ENTERED AT 14:59:29 ON 10 FEB 2005
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 25 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:00:51 ON 10 FEB 2005
L4 2 S L3

FILE 'REGISTRY' ENTERED AT 15:07:17 ON 10 FEB 2005
L5 STRUCTURE UPLOADED
L6 0 S L5
L7 121 S L5 SSS FULL

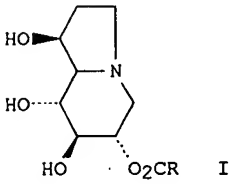
FILE 'CAPLUS' ENTERED AT 15:07:55 ON 10 FEB 2005
L8 33 S L7
L9 31 S L8 NOT L4
L10 19 S L9 AND PATENT/DT
L11 11 S L7 AND THU/RL

=> s l8 not l11
L12 22 L8 NOT L11

10773808

=> d 5, 10, 15, 20, 22 bib abs hitstr

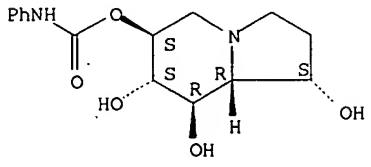
L12 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:421209 CAPLUS
DN 123:228698
TI Castanospermine analogs: their inhibition of glycoprotein processing α-glucosidases from porcine kidney and B16F10 cells
AU Kang, Mohinder S.; Liu, Paul S.; Bernotas, Ronald C.; Harry, Brenda S.; Sunkara, Prasad S.
CS Marion Merrell Dow Inc., Cincinnati, OH, 45215, USA
SO Glycobiology (1995), 5(1), 147-52
CODEN: GLYCE3; ISSN: 0959-6658
PB Oxford University Press
DT Journal
LA English
GI



I

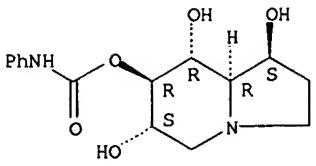
AB We have used a simple and efficient procedure for the synthesis of N-5-carboxypentyl-1-deoxynojirimycin, an affinity ligand for α-glucosidase I (Bernotas, R. C. and Ganem, B., Biochem. J., 270, 539-540, 1990). The affinity gel was used to purify α-glucosidase I in one step from crude extract In subsequent steps, partially purified α-glucosidase II was obtained. We have synthesized several castanospermine analogs, e.g. I [R = (CH2)nMe, Me2CHNH, cyclopropyl, 2-furyl, Ph, NHPh, Bn, n = 2-4, 6, 8, 14], of and studied their inhibition of α-glucosidase I in vitro using purified α-glucosidase I and in vivo in cultured B16F10 cells. Although the castanospermine analogs were significantly less active against the purified enzyme (IC50 .apprx.1-23 μg/mL) as compared to castanospermine (IC50 = 0.02 μg/mL), several compds. had up to 30-fold higher activity than castanospermine against α-glucosidase I in B16F10 cells, based on the accumulation of G3M7-9N2 oligosaccharide-containing glycoproteins. These results suggest that these analogs with lipophilic side chains cross the membrane barrier more efficiently than castanospermine. Once inside the cell, they may be converted to their active metabolite, castanospermine, by cellular esterases to give enzyme inhibition.
IT **168208-20-6 168208-21-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of glycoprotein processing glucosidases from porcine kidney and B16F10 cells by castanospermine analogs)
RN 168208-20-6 CAPLUS
CN 1,6,7,8-Indolizinetriol, octahydro-, 6-(phenylcarbamate), [1S-(1α,6β,7α,8β,8aβ)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

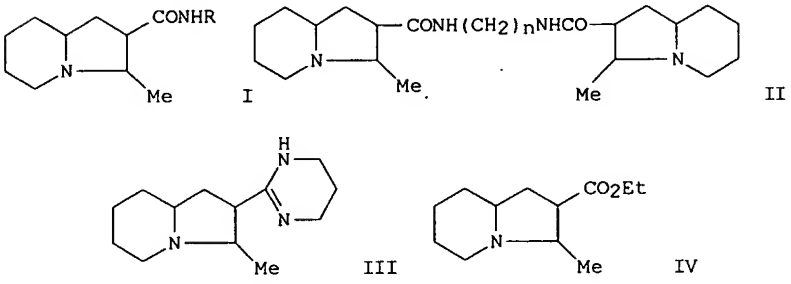


RN 168208-21-7 CAPLUS
CN 1,6,7,8-Indolizinetriol, octahydro-, 7-(phenylcarbamate), [1S-(1α,6β,7α,8β,8aβ)]- (9CI) (CA INDEX NAME)

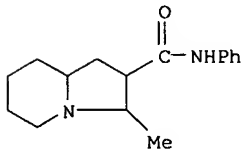
Absolute stereochemistry.



L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:423204 CAPLUS
DN 107:23204
TI Synthesis of 3-methylperhydroindolizine-2-carboxamide derivatives
AU Biniecki, Stanislaw; Krzeminski, Jacek
CS Dep. Chem. Technol. Pharm. Prod., Sch. Med., Warsaw, 02-097, Pol.
SO Acta Poloniae Pharmaceutica (1985), 42(3), 221-30
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA Polish
OS CASREACT 107:23204
GI



AB The title amides I (R = Ph, CHMePh, CH2CHPh2, CH2CH2NH2, etc.), II (n = 2, 3), and III were prepared by aminolysis of the ester IV.
IT **108641-30-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 108641-30-1 CAPLUS
CN 2-Indolizinecarboxamide, octahydro-3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



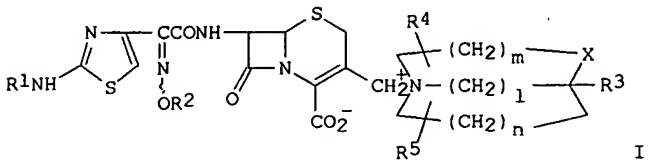
L12 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:207045 CAPLUS
DN 104:207045
TI Cephalosporin derivatives
IN Ichikawa, Yataro; Yoshisato, Eishin; Harada, Toshiaki; Imai, Hiroshi; Suzuki, Yoji; Miyano, Seiji; Sumoto, Kunihiro
PA Teijin Ltd. , Japan
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

10773808

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8503938	A1	19850912	WO 1985-JP101	19850301
	W: AU, DK, FI, HU, KR, NO, SU, US				
	RW: AT, BE, CH, DE, FR, GB, LU, NL, SE				
	JP 60185789	A2	19850921	JP 1984-40503	19840305
	JP 61027991	A2	19860207	JP 1984-148544	19840719
	AU 8539985	A1	19850924	AU 1985-39985	19850301
	EP 172919	A1	19860305	EP 1985-901085	19850301
	R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE				
PRAI	JP 1984-40503	A	19840305		
	JP 1984-148544	A	19840719		
	WO 1985-JP101	A	19850301		

GI



I

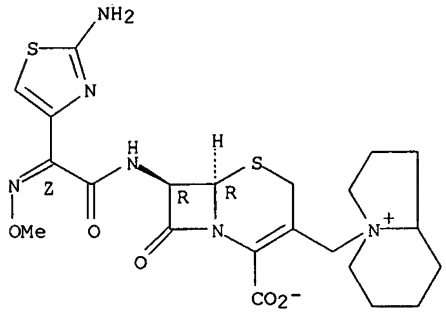
AB Title compds. I (R1 = H, protecting group; R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl; R3 = H, (un)substituted alkyl, cyano, carboxy, alkoxycarbonyl, carbamoyl, carbamoyloxy, heterocyclyl, OH, alkoxy, halo; R4, R5 = H, alkyl; l = 0, m, n = 1, 2; l = 1, 2, m = n = 0; X = CH2, O, etc.] and their salts or esters, useful as antibacterials (min. inhibitory concentration given), were prepared Thus, (6R,7R)-7-[(Z)-1-methoxy-1-(2-aminothiazol-4-yl)acetamido)-3-(1-azabicyclo[3.3.0]octan-1-io)methyl]-3-cephem-4-carboxylate (II) was prepared from (6R,7R)-7-[(Z)-2-(2-tritylaminothiazol-4-yl)-2-methoxyiminoacetamido]-3-iodomethyl-3-cephem-4-carboxylic acid benzhydryl ester and 1-azabicyclo[3.3.0]octane.

IT **102272-84-4P 102291-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as bactericide)

RN 102272-84-4 CAPLUS

CN 1H-Indolizinium, 4-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]octahydro-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

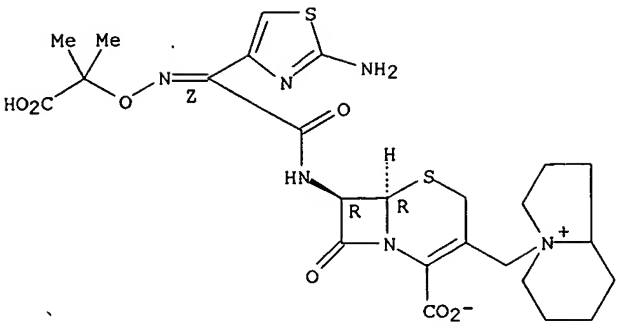
Absolute stereochemistry.
Double bond geometry as shown.



RN 102291-94-1 CAPLUS

CN Indolizinium, 4-[[7-[[(2-amino-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]octahydro-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

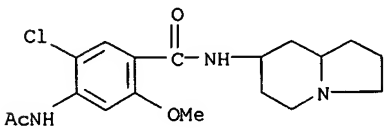
Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1978:443086 CAPLUS
DN 89:43086
TI Benzamide derivatives
IN Hadley, Michael Stewart; Watts, Eric Alfred
PA Beecham Group Ltd., UK
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

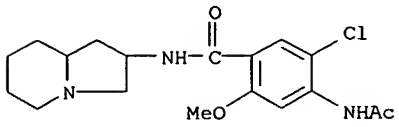
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2748260	A1	19780511	DE 1977-2748260	19771027
	ZA 7706129	A	19780628	ZA 1977-6129	19771014
	AU 7729753	A1	19790426	AU 1977-29753	19771014
	AU 512082	B2	19800925		
	CA 1083145	A1	19800805	CA 1977-288777	19771014
	BE 860049	A1	19780424	BE 1977-182007	19771024
	US 4213983	A	19800722	US 1977-845027	19771025
	FR 2370051	A1	19780602	FR 1977-32621	19771028
	FR 2370051	B1	19810522		
	SE 7712455	A	19780505	SE 1977-12455	19771103
	DK 7704926	A	19780506	DK 1977-4926	19771104
	NL 7712203	A	19780509	NL 1977-12203	19771104
	JP 53059692	A2	19780529	JP 1977-132395	19771104
	JP 61029356	B4	19860705		
	CH 638520	A	19830930	CH 1977-13464	19771104
PRAI	GB 1976-46105	A	19761105		

GI For diagram(s), see printed CA Issue.
AB Seventeen compds. I (R = C1-6 alkoxy; R1 = R2 = H, halogen, CF3, NO2, alkoxy, acyl, acylamino, optionally substituted H2NCO or H2NSO2, etc.; R3 = alkyl, optionally substituted Ph or phenylalkyl; R4 = H; or R3R4 form a benzene ring; X = N, CH; m = 2-4, n = 1-3, m + n = 3-5, p = 0-3) were prepared Thus, 2-quinolizidone was converted into the oxime, which was reduced by LiAlH4, followed by reaction with 2,4,5-(MeO)(AcNH)ClC6H2COCl to give II (R5 = Ac), which upon treatment with KOH gave II (R5 = H). Animal tests showed I to be useful as antiemetics and for treatment of stomach disorders.
IT **67092-48-2P 67092-62-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 67092-48-2 CAPLUS
CN Benzamide, 4-(acetylamino)-5-chloro-2-methoxy-N-(octahydro-7-indolizinyl)-(9CI) (CA INDEX NAME)



10773808

RN 67092-62-0 CAPLUS
CN Benzamide, 4-(acetylamino)-5-chloro-N-(hexahydro-2-indolizinyl)-2-methoxy- (9CI) (CA INDEX NAME)



L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1969:106533 CAPLUS
DN 70:106533
TI Hydroindolizinone depressants
IN Plostnieks, Janis
PA McNeil Laboratories, Inc.
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3435033	A	19690325	US 1967-635387	19670502
PRAI US 1967-635387	A	19670502		

GI For diagram(s), see printed CA Issue.
AB Hydroindolizinones (I and II), useful as central nervous system depressants, are prepared Thus, 6.2 g. 3-hydroxy-3-(2-piperidylmethyl)-2-indolinone-HCl was suspended in 10 ml. NH4OH and 10 ml. CHCl3. The mixture was stirred overnight at room temperature yielding II (R = H) (IIa), m. 160-2° (EtOAc-hexane). Also prepared were an isomer, m. 147-9°, of IIa, 2 isomers, m. 244-5° and 262-3.5°, of I and a mixture of isomers of II (R = Ac), m. 195-8°.
IT **22182-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22182-71-4 CAPLUS
CN Acetanilide, 4'-(octahydro-2-hydroxy-3-oxo-2-indolizinyl)- (8CI) (CA INDEX NAME)